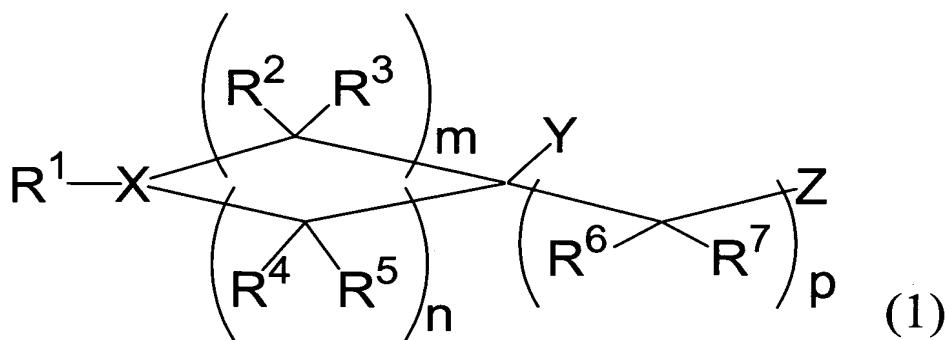


## CLAIMS

1. A medicament for enhancing low density lipoprotein receptor expression comprising as an active ingredient a compound of the formula (1):



5

wherein

m, n, and p are independently an integer of 0 - 4,  
provided  $3 \leq m + n \leq 8$ ;

X is nitrogen atom or a group of the formula: C-R<sup>15</sup>;

10 R<sup>15</sup> is hydrogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted aromatic group, or a group of the formula: -NR<sup>19</sup>R<sup>20</sup> wherein

15 R<sup>19</sup> and R<sup>20</sup> are each independently hydrogen atom; a substituted or unsubstituted lower alkyl group; a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one -NR<sup>21</sup>- (R<sup>21</sup> is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted

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or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and may optionally have one or more substituents on the carbon atoms of the saturated heterocyclic group; a substituted or unsubstituted lower alkoxy carbonyl group; a substituted or unsubstituted aromatic group; a substituted or unsubstituted aralkyl group; or a substituted or unsubstituted heteroarylalkyl group; or alternatively

R<sup>19</sup> and R<sup>20</sup> may combine together with the nitrogen atom bound with R<sup>19</sup> and R<sup>20</sup> to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one -NR<sup>22</sup>- (R<sup>22</sup> is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic amino group;

Y is a substituted or unsubstituted alkyl group; a substituted or unsubstituted alkenyl group; a substituted or unsubstituted alkynyl group; a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted aromatic group; or a group of the formula: -

$C(=O)R^8$  wherein  $R^8$  is a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, or a substituted or unsubstituted aromatic group;

$R^1$  is hydrogen atom; a substituted or unsubstituted alkyl group; a substituted or unsubstituted alkenyl group; a substituted or unsubstituted alkynyl group; a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one  $-NR^{23}-$  ( $R^{23}$  is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and may optionally have one or more substituents on the carbon atoms of the saturated heterocyclic group; a substituted or unsubstituted aromatic group; or a group of the formula: -

$C(=O)R^{14}$  wherein  $R^{14}$  is a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, or a substituted or unsubstituted aromatic group;

$R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ , and  $R^7$  are the same or different and

are selected from the group consisted of hydrogen atom, hydroxyl group, a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkoxy group, a substituted or unsubstituted alkoxy carbonyl group, a substituted or 5 unsubstituted aralkyl group, a substituted or unsubstituted heteroarylalkyl group, a substituted or unsubstituted aralkyloxy group, and a substituted or unsubstituted heteroarylalkyloxy group; and when each of R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, and/or R<sup>7</sup> exists plurally, each thereof is 10 independently selected from the aforementioned group; alternatively

one or plural combinations of R<sup>2</sup> and R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup>, and R<sup>6</sup> and R<sup>7</sup> may combine to form oxo group; alternatively

R<sup>2</sup> and R<sup>4</sup> may combine to form an alkylene group; 15 alternatively

any two of the carbon atoms substituted with R<sup>2</sup> and R<sup>3</sup>, or R<sup>4</sup> and R<sup>5</sup> may combine to form double bond when the two carbons are located adjacently; and

Z is hydrogen atom, hydroxyl group, carboxy group, 20 cyano group, phthalimide group, halogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted aromatic group, a 25 substituted or unsubstituted lower alkoxy carbonyl group, a

substituted or unsubstituted carbamoyl group, a substituted or unsubstituted benzyloxycarbonyl group, a substituted or unsubstituted aralkyloxy group, a substituted or unsubstituted heteroarylalkyloxy group, a substituted or unsubstituted aryloxy group, a substituted or unsubstituted heteroaryloxy group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted lower alkanoyloxy group, a substituted or unsubstituted lower alkylthio group, a substituted or unsubstituted lower alkylsulfinyl group, a substituted or unsubstituted lower alkylsulfonyl group, a substituted or unsubstituted benzenesulfonyloxy group, a substituted or unsubstituted lower alkoxycarbonylamino group, or a group of the formula:  
-NR<sup>9</sup>R<sup>10</sup> wherein

R<sup>9</sup> and R<sup>10</sup> are each independently hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted acyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group; or alternatively

R<sup>9</sup> and R<sup>10</sup> may combine together with the nitrogen atom bound with R<sup>9</sup> and R<sup>10</sup> to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may

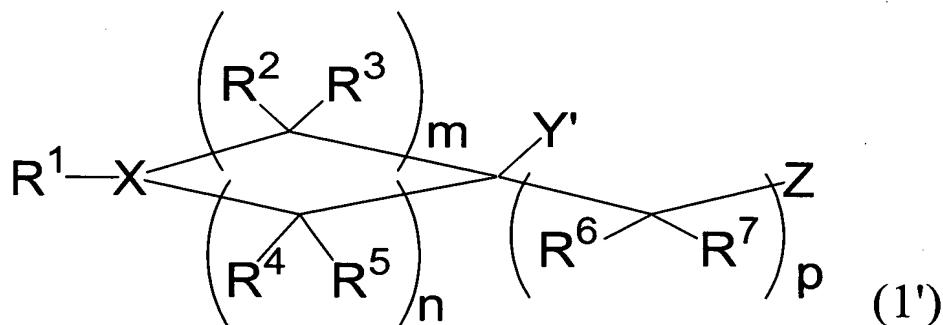
further include one  $-NR^{11}-$  ( $R^{11}$  is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic amino group,

or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

2. The medicament according to claim 1 for treating hyperlipidemia or arteriosclerosis.

15

3. A compound of the formula (1'):



wherein

m, n, and p are independently an integer of 0 - 4,  
20 provided  $3 \leq m + n \leq 8$ ;

X is nitrogen atom or a group of the formula: C-R<sup>15</sup>;

R<sup>15</sup> is hydrogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted aromatic group, or a group of the formula: -NR<sup>19</sup>R<sup>20</sup> wherein

5 R<sup>19</sup> and R<sup>20</sup> are each independently hydrogen atom; a substituted or unsubstituted lower alkyl group; a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one -NR<sup>21</sup>- (R<sup>21</sup> is hydrogen atom,  
10 a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and  
15 may optionally have one or more substituents on the carbon atoms of the saturated heterocyclic group; a substituted or unsubstituted lower alkoxy carbonyl group; a substituted or unsubstituted aromatic group, a substituted or unsubstituted aralkyl group; or a substituted or  
20 unsubstituted heteroarylalkyl group; or alternatively

R<sup>19</sup> and R<sup>20</sup> may combine together with the nitrogen atom bound with R<sup>19</sup> and R<sup>20</sup> to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one -NR<sup>22</sup>- (R<sup>22</sup> is hydrogen atom,  
25 a substituted or unsubstituted lower alkyl group, a

substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as  
5 a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic amino group;

Y' is a substituted or unsubstituted cycloalkyl group; a substituted or unsubstituted aromatic group; or a group  
10 of the formula: -C(=O)R<sup>8a</sup> wherein R<sup>8a</sup> is a substituted or unsubstituted cycloalkyl group, or a substituted or unsubstituted aromatic group;

R<sup>1</sup> is hydrogen atom; a substituted or unsubstituted alkyl group; a substituted or unsubstituted alkenyl group;  
15 a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group; a saturated heterocyclic group comprising 3 - 8 carbon atoms as ring components which includes one -NR<sup>23</sup>- (R<sup>23</sup> is hydrogen atom, a substituted or unsubstituted lower alkyl group, a  
20 substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom and may optionally have one or more substituents on the carbon atoms of the saturated heterocyclic group; a substituted or  
25

unsubstituted aromatic group; or a group of the formula: -

C(=O)R<sup>14</sup> wherein R<sup>14</sup> is a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, or a substituted or unsubstituted aromatic group;

R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> are the same or different and are selected from the group consisted of hydrogen atom, hydroxyl group, a substituted or unsubstituted alkyl group,

a substituted or unsubstituted alkoxy group, a substituted or unsubstituted alkoxycarbonyl group, a substituted or unsubstituted aralkyl group, a substituted or unsubstituted heteroarylalkyl group, a substituted or unsubstituted aralkyloxy group, or a substituted or unsubstituted heteroarylalkyloxy group; and when each of R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, and/or R<sup>7</sup> exists plurally, each thereof is independently selected from the aforementioned group; alternatively

one or plural combinations of R<sup>2</sup> and R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup>, and R<sup>6</sup> and R<sup>7</sup> may combine to form oxo group; alternatively

R<sup>2</sup> and R<sup>4</sup> may combine to form an alkylene group; alternatively

any two of the carbon atoms substituted with R<sup>2</sup> and R<sup>3</sup>, or R<sup>4</sup> and R<sup>5</sup> may combine to form double bond when the two carbons are located adjacently; and

Z is hydrogen atom, hydroxyl group, carboxy group, cyano group, phthalimide group, halogen atom, a substituted or unsubstituted alkyl group, a substituted or unsubstituted alkenyl group, a substituted or unsubstituted alkynyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted carbamoyl group, a substituted or unsubstituted benzyl oxy carbonyl group, a substituted or unsubstituted aralkyloxy group, a substituted or unsubstituted heteroarylalkyloxy group, a substituted or unsubstituted aryloxy group, a substituted or unsubstituted heteroaryloxy group, a substituted or unsubstituted lower alkoxy group, a substituted or unsubstituted lower alkanoyloxy group, a substituted or unsubstituted lower alkylthio group, a substituted or unsubstituted lower alkylsulfinyl group, a substituted or unsubstituted lower alkylsulfonyl group, a substituted or unsubstituted benzenesulfonyloxy group, a substituted or unsubstituted lower alkoxy carbonylamino group, or a group of the formula:  
-NR<sup>9</sup>R<sup>10</sup> wherein

R<sup>9</sup> and R<sup>10</sup> are each independently hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted lower alkoxy carbonyl group, a

substituted or unsubstituted aromatic group, a substituted or unsubstituted acyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group; or alternatively

5           R<sup>9</sup> and R<sup>10</sup> may combine together with the nitrogen atom bound with R<sup>9</sup> and R<sup>10</sup> to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one -NR<sup>11</sup>- (R<sup>11</sup> is hydrogen atom, a substituted or unsubstituted lower alkyl group, a 10 substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxy carbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) or one oxygen atom as a ring component and may optionally have one or more 15 substituents on the carbon atoms of the saturated cyclic amino group; and

provided that Z is not cyano group when both Y' and R<sup>1</sup> are unsubstituted phenyl group,  
or a prodrug thereof, or a pharmaceutically acceptable salt  
20 thereof.

4. The compound according to claim 3 wherein

X is nitrogen atom, and R<sup>2</sup> and R<sup>4</sup> combine to form an alkylene; or alternatively

25 X is a group of the formula: C-R<sup>15</sup>,

or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

5. The compound according to any one of claims 3 and 4  
wherein Y' is a substituted or unsubstituted aromatic group,

or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

10 6. The compound according to claim 5 wherein R<sup>1</sup> is a substituted or unsubstituted aromatic group,  
or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

15 7. The compound according to claim 6 wherein Y' is a substituted or unsubstituted phenyl group, or a substituted or unsubstituted pyridyl group,  
or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

20 8. The compound according to claim 7 wherein  
R<sup>1</sup> is phenyl group, pyridyl group, pyrimidinyl group, benzoxazolyl group, or benzothiazolyl group, which may be optionally substituted with one or more substituents,  
25 or a prodrug thereof, or a pharmaceutically acceptable salt

thereof.

9. The compound according to claim 8 wherein

R<sup>1</sup> is a substituted phenyl group or a substituted  
5 pyridyl group, wherein the substituents on the phenyl group  
or pyridyl group are the same or different and are selected  
from one or more of hydroxyl group or a lower alkoxy group,  
or a prodrug thereof, or a pharmaceutically acceptable salt  
thereof.

10

10. The compound according to any one of claims 3 - 5  
wherein

X is the formula: C-R<sup>15</sup>, and

R<sup>15</sup> is a group of the formula: -NR<sup>19</sup>R<sup>20</sup>,

15 or a prodrug thereof, or a pharmaceutically acceptable salt  
thereof.

11. The compound according to claim 10 wherein in the  
formula: -NR<sup>19</sup>R<sup>20</sup>

20 R<sup>19</sup> is hydrogen atom, and

R<sup>20</sup> is a substituted or unsubstituted aromatic group,  
a substituted or unsubstituted aralkyl group, or a  
substituted or unsubstituted heteroarylalkyl group, or  
alternatively

25 R<sup>19</sup> and R<sup>20</sup> may combine together with the nitrogen atom

bound with R<sup>19</sup> and R<sup>20</sup> to form a saturated cyclic amino group comprising 3 - 8 carbon atoms as ring components, which may further include one -NR<sup>22</sup>- (R<sup>22</sup> is hydrogen atom, a substituted or unsubstituted lower alkyl group, a substituted or unsubstituted aromatic group, a substituted or unsubstituted lower alkoxycarbonyl group, a substituted or unsubstituted aralkyl group, or a substituted or unsubstituted heteroarylalkyl group) as a ring component and may optionally have one or more substituents on the carbon atoms of the saturated cyclic amino group, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

12. The compound according to claim 10 wherein

15       R<sup>15</sup> is a group of the formula: -NR<sup>19</sup>R<sup>20</sup>,  
          R<sup>19</sup> is hydrogen atom,  
          R<sup>20</sup> is a substituted or unsubstituted aromatic group,  
          a substituted or unsubstituted aralkyl group, or a  
          substituted or unsubstituted heteroarylalkyl group, and  
20       the configuration between R<sup>15</sup> and Y' is trans,  
          or a prodrug thereof, or a pharmaceutically acceptable salt  
          thereof.

13. The compound according to claim 12 wherein R<sup>20</sup> is a  
25       substituted or unsubstituted aralkyl group, or a

substituted or unsubstituted heteroarylalkyl group,  
or a prodrug thereof, or a pharmaceutically acceptable salt  
thereof.

5       14. The compound according to claim 12 wherein R<sup>20</sup> is a  
substituted benzyl group wherein the substituent is  
sulfamoyl group,  
or a prodrug thereof, or a pharmaceutically acceptable salt  
thereof.

10

15       15. The compound according to claim 10 wherein  
R<sup>15</sup> is a group of the formula: -NR<sup>19</sup>R<sup>20</sup>;  
R<sup>19</sup> is hydrogen atom;  
R<sup>20</sup> is a saturated heterocyclic group comprising 3 - 8  
carbon atoms as ring components which includes one -NR<sup>21</sup>-  
(R<sup>21</sup> is hydrogen atom, a substituted or unsubstituted lower  
alkyl group, a substituted or unsubstituted aromatic group,  
a substituted or unsubstituted lower alkoxy carbonyl group,  
a substituted or unsubstituted aralkyl group, or a  
20      substituted or unsubstituted heteroarylalkyl group) or one  
oxygen atom and may optionally have one or more  
substituents on the carbon atoms of the saturated  
heterocyclic group; and  
the configuration between R<sup>15</sup> and Y' is trans,  
25      or a prodrug thereof, or a pharmaceutically acceptable salt

thereof.

16. The compound according to claim 10 wherein

R<sup>15</sup> is a group of the formula: -NR<sup>19</sup>R<sup>20</sup> wherein R<sup>19</sup> and  
5 R<sup>20</sup> combine together with the nitrogen atom bound with R<sup>19</sup>  
and R<sup>20</sup> to form a saturated cyclic amino group comprising 3  
- 8 carbon atoms as ring components, which may further  
include one -NR<sup>22</sup>- (R<sup>22</sup> is hydrogen atom, a substituted or  
unsubstituted lower alkyl group, a substituted or  
10 unsubstituted aromatic group, a substituted or  
unsubstituted lower alkoxy carbonyl group, a substituted or  
unsubstituted aralkyl group, or a substituted or  
unsubstituted heteroarylalkyl group) as a ring component  
and may optionally have one or more substituents on the  
15 carbon atoms of the saturated cyclic amino group; and  
the configuration between R<sup>15</sup> and Y' is cis,  
or a prodrug thereof, or a pharmaceutically acceptable salt  
thereof.

20 17. The compound according to any one of claims 9 - 16  
wherein

every R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> is hydrogen atom, or  
alternatively

one or plural combinations of R<sup>2</sup> and R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup>, and  
25 R<sup>6</sup> and R<sup>7</sup> combine to form oxo group; and the others are all

hydrogen atom,

or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

5       18. The compound according to claim 17 wherein  
          every R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> is hydrogen atom, and  
          R<sup>6</sup> and R<sup>7</sup> combine to form oxo group, or both R<sup>6</sup> and R<sup>7</sup>  
          are hydrogen atom,

10      or a prodrug thereof, or a pharmaceutically acceptable salt  
          thereof.

19. The compound according claim 18 wherein Z is hydroxyl group, cyano group, a lower alkoxy group or a group of the formula: -NR<sup>9</sup>R<sup>10</sup>,

15      or a prodrug thereof, or a pharmaceutically acceptable salt  
          thereof.

20      20. The compound according to claim 19 wherein  
          Y' is a substituted phenyl group wherein the  
          substituents on the phenyl group are the same or different  
          and are selected from one or more of hydroxyl group or a  
          lower alkoxy group,  
          or a prodrug thereof, or a pharmaceutically acceptable salt  
          thereof.

21. The compound according to any one of claims 3 - 20 wherein Z is cyano group, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

5       22. The compound according to any one of claims 3 - 21  
wherein

m is 2 or 3,

n is 2, and

every R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> is hydrogen atom,

10      or a prodrug thereof, or a pharmaceutically acceptable salt  
thereof.

15      23. The compound according to any one of claims 3 - 22  
wherein p is 0, or a prodrug thereof, or a pharmaceutically  
acceptable salt thereof.

20      24. A pharmaceutical composition comprising as an active  
ingredient the compounds set forth in any one of claims 3 -  
23, or a prodrug thereof, or a pharmaceutically acceptable  
salt thereof.

25      25. A medicament for enhancing low density lipoprotein  
receptor expression comprising as an active ingredient the  
compounds set forth in any one of claims 3 - 23, or a  
prodrug thereof, or a pharmaceutically acceptable salt

thereof.

26. A hypolipidemic drug or antiarteriosclerotic drug comprising as an active ingredient the compound set forth  
5 in any one of claims 3 - 23, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

27. A method for treating hyperlipidemia or arteriosclerosis comprising administering to a patient in  
10 need of the treatment a therapeutically effective dose of the compound set forth in any one of claims 3 - 23, or a prodrug thereof, or a pharmaceutically acceptable salt thereof.

15 28. Use of the compound set forth in any one of claims 3 - 23, or a prodrug thereof, or a pharmaceutically acceptable salt thereof, for the manufacture of a hypolipidemic drug or antiarteriosclerotic drug.